

PATENT COOPERATION TREATY


PCT

INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

(Chapter II of the Patent Cooperation Treaty)

(PCT Article 36 and Rule 70)

REC'D 13 AUG 2005
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Applicant's or agent's file reference BCS 03-1024		FOR FURTHER ACTION		See Form PCT/IPEA/416
International application No. PCT/EP2004/009378		International filing date (day/month/year) 21.08.2004	Priority date (day/month/year) 04.09.2003	
International Patent Classification (IPC) or national classification and IPC C07D231/44, C07D401/04, A01N43/56				
Applicant BAYER CROPSCIENCE S.A.				
<p>1. This report is the international preliminary examination report, established by this International Preliminary Examining Authority under Article 35 and transmitted to the applicant according to Article 36.</p> <p>2. This REPORT consists of a total of 8 sheets, including this cover sheet.</p> <p>3. This report is also accompanied by ANNEXES, comprising:</p> <p>a. <input type="checkbox"/> sent to the applicant and to the International Bureau a total of sheets, as follows:</p> <p><input type="checkbox"/> sheets of the description, claims and/or drawings which have been amended and are the basis of this report and/or sheets containing rectifications authorized by this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions).</p> <p><input type="checkbox"/> sheets which supersede earlier sheets, but which this Authority considers contain an amendment that goes beyond the disclosure in the international application as filed, as indicated in item 4 of Box No. I and the Supplemental Box.</p> <p>b. <input type="checkbox"/> (sent to the International Bureau only) a total of (indicate type and number of electronic carrier(s)) , containing a sequence listing and/or tables related thereto, in computer readable form only, as indicated in the Supplemental Box Relating to Sequence Listing (see Section 802 of the Administrative Instructions).</p>				
<p>4. This report contains indications relating to the following items:</p> <p><input checked="" type="checkbox"/> Box No. I Basis of the opinion</p> <p><input type="checkbox"/> Box No. II Priority</p> <p><input checked="" type="checkbox"/> Box No. III Non-establishment of opinion with regard to novelty, inventive step and industrial applicability</p> <p><input type="checkbox"/> Box No. IV Lack of unity of invention</p> <p><input checked="" type="checkbox"/> Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement</p> <p><input type="checkbox"/> Box No. VI Certain documents cited</p> <p><input type="checkbox"/> Box No. VII Certain defects in the international application</p> <p><input checked="" type="checkbox"/> Box No. VIII Certain observations on the international application</p>				
Date of submission of the demand 14.06.2005		Date of completion of this report 12.08.2005		
Name and mailing address of the international preliminary examining authority:  European Patent Office D-80298 Munich Tel. +49 89 2399 - 0 Tx: 523656 epmu d Fax: +49 89 2399 - 4465		Authorized Officer Traegler-Goeldel, M Telephone No. +49 89 2399-		



**INTERNATIONAL PRELIMINARY REPORT
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International application No.
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Box No. I Basis of the report

1. With regard to the **language**, this report is based on the international application in the language in which it was filed, unless otherwise indicated under this item.
- ☐ This report is based on translations from the original language into the following language , which is the language of a translation furnished for the purposes of:
- ☐ international search (under Rules 12.3 and 23.1(b))
 - ☐ publication of the international application (under Rule 12.4)
 - ☐ international preliminary examination (under Rules 55.2 and/or 55.3)
2. With regard to the **elements*** of the international application, this report is based on *(replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report):*

Description, Pages

1-43 as originally filed

Claims, Numbers

1-10 as originally filed

- ☐ a sequence listing and/or any related table(s) - see Supplemental Box Relating to Sequence Listing
3. ☐ The amendments have resulted in the cancellation of:
- ☐ the description, pages
 - ☐ the claims, Nos.
 - ☐ the drawings, sheets/figs
 - ☐ the sequence listing (*specify*):
 - ☐ any table(s) related to sequence listing (*specify*):
4. ☐ This report has been established as if (some of) the amendments annexed to this report and listed below had not been made, since they have been considered to go beyond the disclosure as filed, as indicated in the Supplemental Box (Rule 70.2(c)).
- ☐ the description, pages
 - ☐ the claims, Nos.
 - ☐ the drawings, sheets/figs
 - ☐ the sequence listing (*specify*):
 - ☐ any table(s) related to sequence listing (*specify*):

* If item 4 applies, some or all of these sheets may be marked "superseded."

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Box No. III Non-establishment of opinion with regard to novelty, inventive step and industrial applicability

1. The questions whether the claimed invention appears to be novel, to involve an inventive step (to be non-obvious), or to be industrially applicable have not been examined in respect of:

- ☐ the entire international application,
- ☒ claims Nos. 9,10

because:

- ☒ the said international application, or the said claims Nos. 9,10 relate to the following subject matter which does not require an international preliminary examination (specify):

see separate sheet

- ☐ the description, claims or drawings (*indicate particular elements below*) or said claims Nos. are so unclear that no meaningful opinion could be formed (*specify*):
- ☐ the claims, or said claims Nos. are so inadequately supported by the description that no meaningful opinion could be formed.
- ☐ no international search report has been established for the said claims Nos.
- ☐ the nucleotide and/or amino acid sequence listing does not comply with the standard provided for in Annex C of the Administrative Instructions in that:

the written form

- ☐ has not been furnished

- ☐ does not comply with the standard

the computer readable form

- ☐ has not been furnished

- ☐ does not comply with the standard

- ☐ the tables related to the nucleotide and/or amino acid sequence listing, if in computer readable form only, do not comply with the technical requirements provided for in Annex C-*bis* of the Administrative Instructions.

- ☐ See separate sheet for further details

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Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

1. Statement

Novelty (N)	Yes: Claims	1-10
	No: Claims	
Inventive step (IS)	Yes: Claims	
	No: Claims	1-10
Industrial applicability (IA)	Yes: Claims	1-8
	No: Claims	

2. Citations and explanations (Rule 70.7):

see separate sheet

Box No. VIII Certain observations on the international application

The following observations on the clarity of the claims, description, and drawings or on the question whether the claims are fully supported by the description, are made:

see separate sheet

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re item III:

Claims 9 and 10 have to be considered as being directed to the treatment of the human and/or animal body. Under the terms of Rule 67.1 and Art. 34 (4)a)i) PCT, the International Preliminary Examining Authority is not required to carry out an examination on such claims with respect to industrial applicability.

re item V:

1. Prior art

The Preliminary examination procedure is based on the document cited in the International Search Report:

- D1: EP-A-0 500 209 (RHONE POULENC AGROCHIMIE) 26 August 1992 (1992-08-26)
- D2: US-A-4 971 989 (JENSEN-KORTE UTA ET AL) 20 November 1990 (1990-11-20)
- D3: US-B1-6 316 477 (AKAYAMA ATSUO ET AL) 13 November 2001 (2001-11-13)
- D4: WO 98 28279 A (RHONE POULENC AGROCHIMIE ;HAWKINS DAVID WILLIAM (GB); PILATO MICHA) 2 July 1998 (1998-07-02)
- D5: US-A-5 691 333 (PILATO MICHAEL THOMAS ET AL) 25 November 1997 (1997-11-25)

2. Novelty

The present pesticidal 1-arylpyrazole derivatives differ structurally from those according to D1 to D5 by the -C(=O)-OR residue at the end of the aminoalkyl residue in position 5. Thus the claimed subject matter of claim 1 appears to fulfil the requirement of Art. 33 (2) PCT.

3. Inventive step

The 1-arylpyrazole derivatives according to documents D1 to D5 are potent pesticidal compounds as compounds are the compounds of the present application. The structural difference of the present pyrazoles wherein X is C=O and Y is bond to the structural closest ones of D1 is to be seen in the -C(=O)-OR residue (see compound

67 in table 1 according to D1) instead of the present $-O-C(C=O)R$ residue at the end of the aminoalkyl residue in position 5 and to those according to D2 additionally in the substituent in position 3. The pyrazoles according to D3 show the same basic structure and a very broad variety of substituents in position 5. The pyrazoles according to D4 and D5 bear a alkoxyalkylamino substituent and a alk(oxy)(thio)carbonyl substituent in position 5. Therefore the closest prior art is to be seen in document D1, since the compounds of claim 1 represent only a minimal structural change as compared to the compounds of D1..

If the problem underlying the present application were to be seen in provision of further pesticidal 1-arylpyrazole derivatives, the solution of the problem must be considered as being obvious, since the skilled man would have taken into account to replace the $-O-C(C=O)R$ residue at the end of the aminoalkyl residue in position 5 known from D1 and D2 by the $-C(=O)-OR$ residue for the following reasons: First of all it is known from document D3 that the residue in position 5 is not so important for the pesticidal activity, since D3 discloses that the substituent in position 5 may be varied considerably without loss of pesticidal activity. Second from D1, D3, D4 and D5 it is clearly known that it is the 1-arylpyrazole basic structure wherein the 1-arylresidue has a substitution pattern common to all those compounds as well as to the present compounds substituted by either CN, halogen, $C(=NY)-X$ or $-C(=S)-NR$ in position 3 and a $-S(O)_nR$ group in position 4 which are of utmost importance for the biological activity. Thus, if the skilled man were to change the compounds known from D1 as little as possible from the structural point of view (in order to retain the pesticidal activity) without coming to compounds already comprised by document D1 (i.e. to create novelty against this document) and in view of the fact that similarly substituted pyrazole derivatives are already known to show pesticidal activities as well, said replacement at position 5 is an inevitable result of such considerations.

Therefore, the problem underlying the present application, is to be seen in the provision of further pesticidal 1-arylpyrazole derivatives exhibiting a surprising effect compared to the structural closest compounds of the closest prior art D1 (e.g. better or prolonged activity or a lower toxicity than the compounds of the state of the art D1). In the present case example 40 of the present application could be compared to the structural closest compounds 67 as set out in D1 (see table 1), the only structural difference being the essential feature (which renders the subject matter novel and on which an inventive step could be based), i.e. the substituent in position 5 having a $-O-C(=O)-Et$ residue instead of a $-(C=O)-O-Et$ residue at the end of the aminoalkyl moiety

in position 5 all other residues in positions 1, 3 and 5 and all other substituents of the phenyl residue in position 1 being identical.

An inventive step could only be acknowledged if the Applicant is able to demonstrate (preferably by means of substantial experimental data) that the problem of providing further pesticidal 1-arylpyrazole derivatives with unexpected or improved properties when compared with the structurally closest compounds of the pertinent prior art, is solved. As yet, since no such data are given in the application, the present application does not fulfil the requirement of Art. 33 (3) PCT.

4. Industrial applicability

No problem arises with respect to claims 1 to 8, since the claimed compounds may be used for the preparation of industrial products.

re item VIII:

Present claim 1 relates to an extremely large number of possible compounds with regard to the definition of residue R¹² as heterocyclyl, e.g. what is comprised by such an expression without further specification. Support within the meaning of Article 6 PCT and/or disclosure within the meaning of Article 5 PCT is to be found, however, for only a very small proportion of the compounds. The definition of residue R¹² as heterocyclyl thus represents clearly no reasonable generalization or obvious modification of the examples as disclosed; since this residue forms part of the 5-substituent representing the essential and no possibility has been disclosed in any of the prior art documents for this residue at the end of the substituent in position 5 being heterocyclyl without further specification (in D3 this heterocycle is specified as in corresponding claim 1), this definition should be specified according to the specification as originally filed in order to comply with Art. 33 (3) and 6 PCT. In this context the Applicant is referred to the PCT Guidelines for the Preliminary Examining Authorities, Chapter 5, especially 5.43, 5.45 to 5.49 and 5.52 to 5.54: According to these Guidelines, the breadth of the claims should be such, that all the comprised compounds could be expected to solve the problem according to Art. 33 (3) PCT. Since it cannot be expected that all compounds comprised by said unspecified definition do really solve the problem underlying the present application, this expression is not admissible under Art. 33 (3) PCT should be specified according to the description as originally filed.

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